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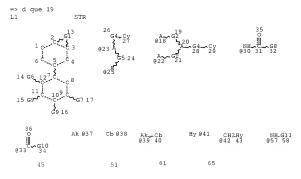
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FILE COVERS 1907 - 26 Nov 2008 VOL 149 ISS 22 FILE LAST UPDATED: 25 Nov 2008 (20081125/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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## http://www.cas.org/legal/infopolicy.html



Page 1-A

1

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STEREO ATTRIBUTES: NONE

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STEREO ATTRIBUTES: NONE

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71 72

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Page 2-A

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ECOUNT IS X2 C AT 39
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STEREO ATTRIBUTES: NONE

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=> fil wpix

FILE 'WPIX' ENTERED AT 15:26:39 ON 26 NOV 2008 COPYRIGHT (C) 2008 THOMSON REUTERS

FILE LAST UPDATED: 21 NOV 2008 <20081121/UP> MOST RECENT UPDATE: 200875 <200875/DW> DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE >>> Now containing more than 1.2 million chemical structures in DCR <<<

>>> IPC Reform backfile reclassifications have been loaded to end of September 2008. No update date (UP) has been created for the reclassified documents, but they can be identified by 20060101/UPIC, and 20061231/UPIC, 20070601/UPIC, 20071001/UPIC, 20071130/UPIC, 20080401/UPIC, 20080701/UPIC and 20081001/UPIC. ECLA reclassifications to mid August and US national classification mid September 2008 have also been loaded. Update dates 20080401, 20080701 and 20081001/UPEC and /UPNC have been assigned to these. <<

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http://www.stn-international.de/training\_center/patents/stn\_guide.pdf

FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE http://scientific.thomsonreuters.com/support/patents/coverage/latestupdates/

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>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

Page 2-A
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NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE L6 STR

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RSPEC 44 50

NUMBER OF NODES IS 60

STEREO ATTRIBUTES: NONE

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FILE 'WPIX' ENTERED AT 15:26:47 ON 26 NOV 2008 COPYRIGHT (C) 2008 THOMSON REUTERS

PROCESSING COMPLETED FOR L9 PROCESSING COMPLETED FOR L19

L22 4 DUP REM L9 L19 (3 DUPLICATES REMOVED)
ANSWERS '1-4' FROM FILE CAPLUS

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L22 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2007:14480 CAPLUS Full-text

DOCUMENT NUMBER: 146:121821

TITLE: Preparation of bicyclic derivatives as p38 kinase

inhibitors

INVENTOR(S): Almansa Rosales, Carmen; Virgili Bernado, Marina

PATENT ASSIGNEE(S): J. Uriach y Compania S.A., Spain

SOURCE: PCT Int. Appl., 80pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2007000339					7.1	-	2007	20070104						20060628			
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		KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
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		KG,	KZ,	MD,	RU,	TJ,	TM										

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CA 2613720	A1	20070104	CA 2006-2613720	20060628					
EP 1917241	A2	20080507	EP 2006-776093	20060628					
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IN 2007CN06046	A	20080613	IN 2007-CN6046	20071231					
PRIORITY APPLN. INFO.:			EP 2005-380140	A 20050629					
			WO 2006-EP6255	W 20060628					
OTHER SOURCE(S).	MADDAT	146 - 1218	21						

Title compds. represented by the formula I [wherein A = CR1R2 or NR3; R1, R2 = AB alkyl; R3, R8 = independently -(CH2)p-Cyl or (un)substituted alkyl; m = 1 or 2; R4 = -B-R8; R5 = H, halo, alkyl or alkoxy; R6 = halo or Me; p = 0-2; Cy1 = (un) substituted Ph, heteroaryl, cycloalkyl or heterocyclyl; B = -CONR9-, -NR9CO- or -NR9CONR9-; R9 = H or alkyl; or salts thereofl were prepared as p38 kinase inhibitors. For example, II was provided in a multi-step synthesis starting from 4-bromo-2-methylbenzoic acid. I showed more than 50 % inhibition for p38 $\alpha$  enzyme activity at 10  $\mu\text{M}$ . Thus, I are useful for the treatment of p38 kinase mediated diseases, such as immune diseases.

918332-35-19, N-Cvclopropvl-4-methyl-3-(2-(3-nitrobenzyl)-1-oxo-2,3-dihydroisoindolin-5-yl]benzamide 918332-61-3P,

3-[2-(3-Aminobenzyl)-1-oxo-2,3-dihydroisoindolin-5-yl]-N-cyclopropyl-4methylbenzamide

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of bicyclic derivs, as p38 kinase inhibitors)

RN 918332-35-1 CAPLUS

Benzamide, N-cvclopropvl-3-[2,3-dihvdro-2-[(3-nitrophenvl)methvl]-1-oxo-1H-CN isoindol-5-v1]-4-methyl- (CA INDEX NAME)

RN 918332-61-3 CAPLUS

CN Benzamide, 3-[2-[(3-aminophenyl)methyl]-2,3-dihydro-1-oxo-1H-isoindol-5-yl]-N-cyclopropyl-4-methyl- (CA INDEX NAME)

ΙT 918331-99-4P, N-Cyclopropy1-4-methy1-3-(1-oxo-2-pheny1-2,3dihydroisoindolin-5-vl)benzamide 918332-00-0P, N-(Cyclopropylmethyl)-4-methyl-3-(1-oxo-2-phenyl-2,3-dihydroisoindolin-5vl)benzamide 918332-01-1P, 3-(2-Benzyl-1-oxo-2,3-dihydroisoindolin-5-yl)-N-cyclopropyl-4methylbenzamide 918332-02-2P. 3-(2-Benzyl-1-oxo-2,3-dihydroisoindolin-5-v1)-N-(cyclopropylmethyl)-4methylbenzamide 918332-07-7P. N-Cyclopropyl-3-[2-(2-hydroxyphenyl)-1-oxo-2,3-dihydroisoindolin-5-yl]-4methylbenzamide 918332-08-8P, N-Cyclopropy1-3-[2-(2-hydroxy-5-sulfamoylphenyl)-1-oxo-2,3dihydroisoindolin-5-yl]-4-methylbenzamide 918332-09-92, N-Cyclopropyl-3-[2-(3-hydroxyphenyl)-1-oxo-2,3-dihydroisoindolin-5-yl]-4methylbenzamide 918332-10-2P, N-Cyclopropyl-3-[2-(2-hydroxy-6-methylphenyl)-1-oxo-2,3-dihydroisoindolin-5-v1]-4-methylbenzamide 918332-11-3P, N-Cyclopropyl-4-methyl-3-[1-oxo-2-(thiazol-2-yl)-2,3-dihydroisoindolin-5vl]benzamide 918332-12-4P, N-Cyclopropyl-3-[2-(4-hydroxyphenyl)-1-oxo-2,3-dihydroisoindolin-5-yl]-4methylbenzamide 918332-13-5P, 4-Chloro-N-cyclopropy1-3-[2-(2-hydroxypheny1)-1-oxo-2,3-dihydroisoindolin-5-vllbenzamide 918332-14-6P, N-Cyclopropyl-3-[2-(5-chloro-2-hydroxyphenyl)-1-oxo-2,3-dihydroisoindolin-5-v11-4-methylbenzamide 918332-15-7P, N-Cyclopropyl-3-[2-(4-chloro-2-hydroxyphenyl)-1-oxo-2,3-dihydroisoindolin-5-v11-4-methylbenzamide 918332-34-0P. N-Cvclopropvl-4-methvl-3-[1-oxo-2-[(pvridin-4-vl)methvl]-2,3dihydroisoindolin-5-yl]benzamide 918332-36-2F, 3-[2-(3-Cvanophenv1)-1-oxo-2,3-dihvdroisoindolin-5-v1]-N-cvclopropv1-4methylbenzamide 918332-37-3P, N-Cyclopropyl-4-methyl-3-[2-[3-(morpholin-4-yl)phenyl]-1-oxo-2,3dihydroisoindolin-5-yl]benzamide 918332-38-4P,

3-12-(Biphenyl-3-yl)-1-oxo-2,3-dihydroisoindolin-5-yll-N-cyclopropyl-4-

methylbenzamide 918332-41-9P,

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dihydroisoindolin-5-vl|benzamide 918332-42-0P,

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N-Cyclopropy1-3-[2-(6-methoxypyridin-3-v1)-1-oxo-2,3-dihydroisoindolin-5-

vll-4-methylbenzamide 918332-47-5P. N-Cyclopropy1-3-[2-(2-methoxyphenyl)-1-oxo-2,3-dihydroisoindolin-5-yl]-4-

methylbenzamide 918332-48-6P, N-Cyclopropyl-5-fluoro-3-(2-(2-hydroxyphenyl)-1-oxo-2,3-dihydroisoindolin-

5-y1]-4-methylbenzamide 918332-50-0P.

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N-[4-Methyl-3-(1-oxo-2-phenyl-2,3-dihydroisoindolin-5-yl)phenyl|furan-3carboxamide 918332-62-4P,

N-Cyclopropy1-3-[2-[3-[(methylsulfonyl)amino]benzyl]-1-oxo-2,3dihydroisoindolin-5-v11-4-methylbenzamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bicyclic derivs, as p38 kinase inhibitors) RN 918331-99-4 CAPLUS

Benzamide, N-cyclopropyl-3-(2,3-dihydro-1-oxo-2-phenyl-1H-isoindol-5-yl)-4-CN methyl- (CA INDEX NAME)

RN 918332-00-0 CAPLUS

CN Benzamide, N-(cyclopropylmethyl)-3-(2,3-dihydro-1-oxo-2-phenyl-1H-isoindol-5-vl)-4-methvl- (CA INDEX NAME)

RM 918332-01-1 CAPLUS

Benzamide, N-cvclopropv1-3-[2,3-dihvdro-1-oxo-2-(phenvlmethv1)-1H-isoindol-CN 5-yl]-4-methyl- (CA INDEX NAME)

- RN 918332-02-2 CAPLUS
- CN Benzamide, N-(cyclopropylmethyl)-3-[2,3-dihydro-1-oxo-2-(phenylmethyl)-1Hisoindol-5-yl]-4-methyl- (CA INDEX NAME)

- RN 918332-07-7 CAPLUS
- CN Benzamide, N-cyclopropyl-3-[2,3-dihydro-2-(2-hydroxyphenyl)-1-oxo-1Hisoindol-5-yl]-4-methyl- (CA INDEX NAME)

- RN 918332-08-8 CAPLUS
- CN Benzamide, 3-[2-[5-(aminosulfony1)-2-hydroxypheny1]-2,3-dihydro-1-oxo-1Hisoindol-5-y1]-N-cyclopropy1-4-methyl- (CA INDEX NAME)

- RN 918332-09-9 CAPLUS
- CN Benzamide, N-cyclopropy1-3-[2,3-dihydro-2-(3-hydroxypheny1)-1-oxo-1Hisoindol-5-y1]-4-methy1- (CA INDEX NAME)

- RN 918332-10-2 CAPLUS
- CN Benzamide, N-cyclopropyl-3-[2,3-dihydro-2-(2-hydroxy-6-methylphenyl)-1-oxo-1H-isoindol-5-yl]-4-methyl- (CA INDEX NAME)

- RN 918332-11-3 CAPLUS
- CN Benzamide, N-cyclopropyl-3-[2,3-dihydro-1-oxo-2-(2-thiazolyl)-1H-isoindol-5-yl]-4-methyl- (CA INDEX NAME)

- RN 918332-12-4 CAPLUS
- CN Benzamide, N-cyclopropyl-3-[2,3-dihydro-2-(4-hydroxyphenyl)-1-oxo-1H-isoindol-5-yl]-4-methyl- (CA INDEX NAME)

- RN 918332-13-5 CAPLUS
- CN Benzamide, 4-chloro-N-cyclopropyl-3-[2,3-dihydro-2-(2-hydroxyphenyl)-1-oxo-1H-isoindol-5-yl]- (CA INDEX NAME)

- RN 918332-14-6 CAPLUS
- CN Benzamide, 3-[2-(5-chloro-2-hydroxyphenyl)-2,3-dihydro-1-oxo-1H-isoindol-5-yl]-N-cyclopropyl-4-methyl- (CA INDEX NAME)

- RN 918332-15-7 CAPLUS
- CN Benzamide, 3-[2-(4-chloro-2-hydroxyphenyl)-2,3-dihydro-1-oxo-1H-isoindol-5-yl]-N-cyclopropyl-4-methyl- (CA INDEX NAME)

- RN 918332-34-0 CAPLUS
- CN Benzamide, N-cyclopropyl-3-[2,3-dihydro-1-oxo-2-(4-pyridinylmethyl)-1Hisoindol-5-yl]-4-methyl- (CA INDEX NAME)

- RN 918332-36-2 CAPLUS
- CN Benzamide, 3-[2-(3-cyanopheny1)-2,3-dihydro-1-oxo-1H-isoindol-5-yl]-N-cyclopropy1-4-methy1- (CA INDEX NAME)

- RN 918332-37-3 CAPLUS
- CN Benzamide, N-cyclopropyl-3-[2,3-dihydro-2-[3-(4-morpholinyl)phenyl]-1-oxo-1H-isoindol-5-yl]-4-methyl- (CA INDEX NAME)

- RN 918332-38-4 CAPLUS
- CN Benzamide, 3-(2-[1,1'-biphenyl]-3-yl-2,3-dihydro-1-oxo-1H-isoindol-5-yl)-N-cyclopropyl-4-methyl- (CA INDEX NAME)

- RN 918332-41-9 CAPLUS
- CN Benzamide, N-cyclopropyl-3-[2,3-dihydro-1-oxo-2-[2-(3-pyridinyl)ethyl]-1Hisoindol-5-yl]-4-methyl- (CA INDEX NAME)

- RN 918332-42-0 CAPLUS
- CN Benzamide, N-cyclopropyl-3-[2,3-dihydro-2-(1H-indazol-6-yl)-1-oxo-1H-isoindol-5-yl]-4-methyl- (CA INDEX NAME)

RN 918332-43-1 CAPLUS

CN Benzamide, N-cyclopropyl-3-[2,3-dihydro-2-(1H-indol-5-yl)-1-oxo-1H-isoindol-5-yl]-4-methyl- (CA INDEX NAME)

RN 918332-45-3 CAPLUS

CN Benzamide, N-cyclopropyl-3-[2,3-dihydro-2-(6-methoxy-3-pyridinyl)-1-oxo-1Hisoindol-5-yl]-4-methyl- (CA INDEX NAME)

RN 918332-47-5 CAPLUS

CN Benzamide, N-cyclopropyl-3-[2,3-dihydro-2-(2-methoxyphenyl)-1-oxo-1Hisoindol-5-yl]-4-methyl- (CA INDEX NAME)

RN 918332-48-6 CAPLUS

CN Benzamide, N-cyclopropyl-3-[2,3-dihydro-2-(2-hydroxyphenyl)-1-oxo-1Hisoindol-5-yl]-5-fluoro-4-methyl- (CA INDEX NAME)

RN 918332-50-0 CAPLUS

CN Cyclopropaneacetamide, N-[3-(2,3-dihydro-1-oxo-2-phenyl-1H-isoindol-5-yl)-4-methylphenyl]- (CA INDEX NAME)

RN 918332-52-2 CAPLUS

CN 3-Furancarboxamide, N-[3-(2,3-dihydro-1-oxo-2-phenyl-1H-isoindol-5-yl)-4-methylphenyl]- (CA INDEX NAME)

RN 918332-62-4 CAPLUS

CN Benzamide, N-cyclopropyl-3-[2,3-dihydro-2-[[3-[(methylsulfonyl)amino]phenyl]methyl]-1-oxo-1H-isoindol-5-yl]-4-methyl-(CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 2 ACCESSION NUMBER: 2005:732641 CAPLUS  $\frac{\text{Full-text}}{\text{Full-text}}$ 

10/587.790 November 26, 2008

DOCUMENT NUMBER: 143:211908

TITLE: Preparation of fused heteroaryl derivatives as p38

kinase inhibitors

INVENTOR(S): Patel, Vipulkumar Kantibhai; Swanson, Stephen

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 54 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

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		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,			
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,			
		MR,	NE,	SN,	TD,	TG														
EP	EP 1709028						2006	1011	EP 2005-702023						20050127					
EP	EP 1709028						2008	1105												
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,			
		IE,	SI,	LT,	LV,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR,	IS			
JP	JP 2007519693						2007	0719	JP 2006-550295						20050127					
US	US 20070054942						2007	0308	US 2006-587613						20060728					
PRIORIT	RIORITY APPLN. INFO.:					GB 2004-2138									A 20040130					
					WO 2005-GB266								1	W 2	0050	127				
OTHER S	THER SOURCE(S):						CASREACT 143:211908; MARPAT 143:211908													

- AB Title compds. I [A = (un)substituted fused 5-membered heteroarvl ring, R1 = Me or C1; R2 = NHCOR3 or CONH(CH2)qR4; R3 = H, alkyl, CF3, etc.; R4 = H, cycloalkyl, alkyl, etc.; q = 0-2; X and Y independently = H, Me or halo] and their pharmaceutically acceptable salts, are prepared and disclosed as p38 kinase inhibitors. Thus, e.q., II was prepared by palladium catalyzed coupling of 6-bromo-5-fluoro-3-(4-pyridinyl)-1H-indazole (preparation given) with N-cyclopropyl-4-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2v1) benzamide. The activity of I was evaluated in fluorescence anisotropy kinase binding assays and it was revealed that compds. of the invention displayed IC50 values of <10 µM or pKi values of >6. I as p38 kinase inhibitor should prove useful in the treatment of disease states mediated by p38 kinase. Pharmaceutical compns. comprising I are disclosed. 862098-61-1P 862098-63-3P
- RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of fused heteroaryl derivs, as p38 kinase inhibitors)
- RN 862098-61-1 CAPLUS

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

CN Benzamide, N-cyclopropyl-3-[5-fluoro-3-(4-pyridinyl)-1H-indazol-6-yl]-4methyl- (CA INDEX NAME)

- RN 862098-63-3 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-5-[5-fluoro-3-(4-pyridinyl)-1,2-benzisoxazol-6-vl]-4-methyl- (CA INDEX NAME)

IT 862098-62-2P 862098-64-4P 862098-65-5P

862098-66-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused heteroaryl derivs. as p38 kinase inhibitors)

- RN 862098-62-2 CAPLUS
- CN Benzamide, N-cyclopropyl-3-[5-fluoro-3-(1-oxido-4-pyridinyl)-1H-indazol-6yl]-4-methyl- (CA INDEX NAME)

- RN 862098-64-4 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-5-[5-fluoro-3-(1-oxido-4-pyridinyl)-1,2benzisoxazol-6-yl]-4-methyl- (CA INDEX NAME)

RN 862098-65-5 CAPLUS

CN Benzamide, N-ethyl-3-[5-fluoro-3-(6-methoxy-3-pyridinyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)

RN 862098-66-6 CAPLUS

CN Benzamide, 3-[3-(6-chloro-3-pyridinyl)-5-fluoro-1H-indazol-6-yl]-N-ethyl-4methyl- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2005:729633 CAPLUS Full-text

DOCUMENT NUMBER: 143:211906

TITLE: Preparation of fused heteroaryl derivatives as p38

kinase inhibitors

INVENTOR(S): Bamborough, Paul; Campos, Sebastien Andre; Patel,

Vipulkumar Kantibhai; Swanson, Stephen; Walker, Ann

Louise

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 123 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: Patent
English
FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PAT	ENT 1	10.			KIND DATE					APPL	ICAT		DATE						
WO	WO 2005073189					A1 20050			1 WO 2005-GB265						20050127				
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
		AZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,		
		RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,		
		MR,	ΝE,	SN,	TD,	TG													
EP	EP 1708996							1011		EP 2	005-		20050127						
EP	EP 1708996						B1 20080827												
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
		ΙE,	SI,	LT,	LV,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR,	IS		
JP	JP 2007519692						2007	0719	JP 2006-550294						20050127				
AT 406351							2008	0915	AT 2005-702022						20050127				
PRIORITY APPLN. INFO.:					GB 2004-2143								- 1	A 20040130					
					WO 2005-GB265 W 2005012									127					
OTHER SOURCE(S):						CASREACT 143:211906; MARPAT 143:211906													

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB Title compds. I [A = (un)substituted fused 5-membered heteroaryl ring, Rl = Me or Cl, R2 = NHCOR3 or CONN(CH2)qR4; R3 = H, alkyl, CF3, etc.; R4 = H, cycloalkyl, alkyl, etc.; q = 0-2; X and Y independently = H, Me or halo] and their pharmaceutically acceptable saits, are prepared and disclosed as p38 kinase inhibitors. Thus, e.g., II was prepared by palladium catalyzed Suzuki coupling of 5-bromo-1-phenyl-1H-indazole (preparation given) with (5-[(cyclopropylamino)carbonyl-]-3-fluoro-2-methylphenyl)boronic acid. The activity of I was evaluated in fluorescence anisotropy kinase binding assays and it was revealed that compds. of the invention displayed IC50 values of <10 μM or pKi values of >6. I as p38 kinase inhibitor should prove useful in the treatment of disease states mediated by p38 kinase. Pharmaceutical compns. comprising I are disclosed.
- IT 861904-32-7P 861904-72-5P 861904-73-6P
  - 861904-94-1P
    - RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
  - (preparation of fused heteroaryl derivs. as p38 kinase inhibitors)
- RN 861904-32-7 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-(2-pyridinylmethyl)-1Hindazol-5-yl]- (CA INDEX NAME)

- RN 861904-72-5 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-(3-pyridinylmethyl)-1H-indazol-5-yl]- (CA INDEX NAME)

- RN 861904-73-6 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-(4-pyridinylmethyl)-1Hindazol-5-yl]- (CA INDEX NAME)

- RN 861904-94-1 CAPLUS
- CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-(2-pyridinyl)-1H-indazol-6-yl]-(CA INDEX NAME)

- IT 861904-25-8P 861904-26-9P 861904-27-0P 861904-28-1P 861904-29-2P 861904-30-5P 861904-31-6P 861904-33-8P 861904-34-9P
  - 861904-35-0P 861904-36-1P 861904-37-2P

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861904-38-3P 861904-39-4P 861904-40-7P
861904-41-8P 861904-42-9P 861904-43-0P
861904-44-1P 861904-45-2P 861904-46-3P
861904-47-4P 861904-48-5P 861904-49-6P
861904-50-9P 861904-51-0P 861904-52-1P
861904-53-2P 861904-54-3P 861904-56-5P
861904-57-6P 861904-58-7P 861904-59-8P
861904-60-1P 861904-62-3P 861904-64-5P
861904-66-7P 861904-67-8P 861904-68-9P
861904-69-0P 861904-70-3P 861904-71-4P
861904-75-8P 861904-76-9P 861904-77-0P
861904-78-1P 861904-79-2P 861904-80-5P
861904-81-6P 861904-82-7P 861904-83-8P
861904-84-9P R61904-85-0P 861904-86-1P
861904-87-2P 861904-88-3P 861904-89-4P
861904-90-7P 861904-91-8P 861904-92-9P
861904-93-0P 861904-95-2P 861904-96-3P
861904-97-4P 861904-98-5P 861904-99-6P
861905-00-2P 861905-01-3P 861905-02-4P
861905-03-5P 861905-04-6P 861905-05-7P
861905-06-8P 861905-07-9P 861905-08-0P
861905-09-1P 861905-10-4P 861905-11-5P
861905-12-6P 861905-13-7P 861905-15-9P
861905-17-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of fused heteroarvl derivs. as p38 kinase inhibitors)
861904-25-8 CAPLUS
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Benzamide, N-cvclopropvl-3-fluoro-4-methvl-5-(1-phenvl-1H-indazol-5-vl)-

RN 861904-26-9 CAPLUS

(CA INDEX NAME)

RN

CN Benzamide, N-cyclopropyl-3-fluoro-5-[1-(4-fluorophenyl)-1H-indazol-5-yl]-4-methyl- (CA INDEX NAME)

- RN 861904-27-0 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-5-[1-(4-fluoro-2-methylphenyl)-1H-indazol-5-yl]-4-methyl- (CA INDEX NAME)

- RN 861904-28-1 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-5-[1-[(4-fluorophenyl)methyl]-1H-indazol-5-yl]-4-methyl- (CA INDEX NAME)

- RN 861904-29-2 CAPLUS
- CN Benzamide, N-cyclopropy1-3-fluoro-4-methy1-5-[1-[[4-(trifluoromethy1)pheny1]methy1]-1H-indazo1-5-y1]- (CA INDEX NAME)

- RN 861904-30-5 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-(phenylmethyl)-1H-indazol-5-yl]- (CA INDEX NAME)

- RN 861904-31-6 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-[(5-methyl-3isoxazolyl)methyl]-1H-indazol-5-yl]- (CA INDEX NAME)

- RN 861904-33-8 CAPLUS
- CN Benzamide, N-cyclopropyl-3-[1-(4-fluorophenyl)-1H-indazol-5-yl]-4-methyl-(CA INDEX NAME)

- RN 861904-34-9 CAPLUS
- CN Benzamide, N-cyclobuty1-3-[1-(4-fluoropheny1)-1H-indazol-5-y1]-4-methyl-(CA INDEX NAME)

CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-[4-(4-morpholinyl)phenyl]-1H-indazol-5-yl]- (CA INDEX NAME)

- RN 861904-36-1 CAPLUS
- CN Formic acid, compd. with N-cyclopropyl-3-fluoro-4-methyl-5-[1-[4-(4-morpholinyl)phenyl]-1H-indazol-5-yllbenzamide (1:1) (CA INDEX NAME)

CM :

CRN 861904-35-0 CMF C28 H27 F N4 O2

CM 2

CRN 64-18-6 CMF C H2 O2

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- RN 861904-37-2 CAPLUS
- CN Benzamide, 3-fluoro-4-methyl-5-(1-phenyl-1H-indazol-5-yl)- (CA INDEX NAME)

RN 861904-38-3 CAPLUS

CN Benzamide, 3-fluoro-N,4-dimethyl-5-(1-phenyl-1H-indazol-5-yl)- (CA INDEX NAME)

RN 861904-39-4 CAPLUS

CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-(1-phenyl-1H-indazol-5-yl)- (CA INDEX NAME)

RN 861904-40-7 CAPLUS

CN Benzamide, 3-fluoro-4-methyl-5-(1-phenyl-1H-indazol-5-yl)-N-propyl- (CA INDEX NAME)

- RN 861904-41-8 CAPLUS
- CN Benzamide, N-butyl-3-fluoro-4-methyl-5-(1-phenyl-1H-indazol-5-yl)- (CA INDEX NAME)

- RN 861904-42-9 CAPLUS
- CN Benzamide, N-cyclobutyl-3-fluoro-4-methyl-5-(1-phenyl-1H-indazol-5-yl)-(CA INDEX NAME)

- RN 861904-43-0 CAPLUS
- CN Benzamide, N-cyclopentyl-3-fluoro-4-methyl-5-(1-phenyl-1H-indazol-5-yl)-(CA INDEX NAME)

- RN 861904-44-1 CAPLUS
- CN Benzamide, 3-fluoro-4-methyl-N-(1-methylethyl)-5-(1-phenyl-1H-indazol-5yl)- (CA INDEX NAME)

- RN 861904-45-2 CAPLUS
- CN Benzamide, N-(cyclopropylmethyl)-3-fluoro-4-methyl-5-(1-phenyl-1H-indazol-5-yl)- (CA INDEX NAME)

- RN 861904-46-3 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-(2-pyridinyl)-1H-indol-5vl]- (CA INDEX NAME)

- RN 861904-47-4 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-(3-pyridinyl)-1H-indol-5-yl]- (CA INDEX NAME)

- RN 861904-48-5 CAPLUS
- CN Benzamide, 3-[1-(4-cyanophenyl)-1H-indol-5-yl]-N-cyclopropyl-5-fluoro-4-methyl- (CA INDEX NAME)

- RN 861904-49-6 CAPLUS
- CN Benzamide, 3-[1-(3-cyanophenyl)-1H-indol-5-yl]-N-cyclopropyl-5-fluoro-4-methyl- (CA INDEX NAME)

- RN 861904-50-9 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-(3-methylphenyl)-1Hindazol-5-yl]- (CA INDEX NAME)

- RN 861904-51-0 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-[4-(trifluoromethyl)phenyl]-1H-indazol-5-yl]- (CA INDEX NAME)

- RN 861904-52-1 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-[4-(methylsulfonyl)phenyl]-1H-indazol-5-yl]- (CA INDEX NAME)

- RN 861904-53-2 CAPLUS
- CN Benzeneacetamide, 4-[5-[5-[(cyclopropylamino)carbonyl]-3-fluoro-2-methylphenyl]-1H-indazol-1-yl]-N-methyl- (CA INDEX NAME)

- RN 861904-54-3 CAPLUS
- CN Benzamide, 3-[1-(4-bromophenyl)-1H-indazol-5-yl]-N-cyclopropyl-5-fluoro-4methyl- (CA INDEX NAME)

RN 861904-56-5 CAPLUS

CN Formic acid, compd. with 3-[1-[4-[(cyclohexylmethyl)amino]phenyl]-1H-indazol-5-yl]-N-cyclopropyl-5-fluoro-4-methylbenzamide (1:1) (CA INDEX NAME)

CM 1

CRN 861904-55-4 CMF C31 H33 F N4 O

CM 2

CRN 64-18-6 CMF C H2 O2

O== CH = OH

RN 861904-57-6 CAPLUS

CN Benzamide, N-cyclopropyl-3-[1-[4-[[2-(dimethylamino)ethyl]amino]phenyl]-1Hindazol-5-yl]-5-fluoro-4-methyl- (CA INDEX NAME)

RN 861904-58-7 CAPLUS

CN Formic acid, compd. with N-cyclopropyl-3-[1-[4-[[2-(dimethylamino)ethyl]amino]phenyl]-1H-indazol-5-yl]-5-fluoro-4methylbenzamide (2:1) (CA INDEX NAME)

CM 1

CRN 861904-57-6 CMF C28 H30 F N5 O

CM 2

CRN 64-18-6 CMF C H2 O2

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RN 861904-59-8 CAPLUS

CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-[4-[(tetrahydro-2H-pyran-4-y1)amino]phenyl]-1H-indazol-5-yl]- (CA INDEX NAME)

RN 861904-60-1 CAPLUS

CM 1

CRN 861904-59-8

CMF C29 H29 F N4 O2

CM 2

CRN 64-18-6

CMF C H2 O2

O==CH=OH

RN 861904-62-3 CAPLUS

CN Formic acid, compd. with N-cyclopropyl-3-fluoro-4-methyl-5-[1-[4-[((tetrahydro-2-furanyl)methyl]amino]phenyl]-1H-indazol-5-yl]benzamide (1:1) (CA INDEX NAME)

CM 1

CRN 861905-17-1

CMF C29 H29 F N4 O2

CM 2

CRN 64-18-6

CMF C H2 O2

O==CH-OH

RN 861904-64-5 CAPLUS

CN Formic acid, compd. with N-cyclopropyl-3-fluoro-5-[1-[4-[(2-  $^{\circ}$ 

methoxyethy1)amino]pheny1]-1H-indazol-5-y1]-4-methylbenzamide (1:1) (CA INDEX NAME)

CM 1

CRN 861904-63-4 CMF C27 H27 F N4 O2

CM 2

CRN 64-18-6 CMF C H2 O2

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RN 861904-66-7 CAPLUS

CN Formic acid, compd. with N-cyclopropyl-3-[1-[4-[[3-(dimethylamino)propyl]amino]phenyl]-1H-indazol-5-yl]-5-fluoro-4methylbenzamide (2:1) (CA INDEX NAME)

CM 1

CRN 861904-65-6 CMF C29 H32 F N5 O

CM 2

CRN 64-18-6 CMF C H2 O2 O\_\_\_\_CH\_OH

- RN 861904-67-8 CAPLUS
- CN Benzamide, N-cyclopropyl-3-[1-[4-[(2,3-dihydroxypropyl)amino]phenyl]-1H-indazol-5-yl]-5-fluoro-4-methyl- (CA INDEX NAME)

- RN 861904-68-9 CAPLUS
- CN Benzamide, N-cyclopropyl-3-[1-(2,6-dimethyl-4-pyrimidinyl)-1H-indazol-5-yl]-5-fluoro-4-methyl- (CA INDEX NAME)

- RN 861904-69-0 CAPLUS
- CN Benzamide, N-cyclopropyl-3-[1-(1,6-dihydro-6-oxo-4-pyrimidinyl)-1H-indazol-5-yl]-5-fluoro-4-methyl- (CA INDEX NAME)

- RN 861904-70-3 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-5-[3-(4-methoxyphenyl)-1,2-benzisoxazol-6-yl]-4-methyl- (CA INDEX NAME)

- RN 861904-71-4 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-5-[3-(4-hydroxyphenyl)-1,2-benzisoxazol-6-vl]-4-methyl- (CA INDEX NAME)

- RN 861904-75-8 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-[(1-oxido-2-pyridinyl)methyl]-1H-indazol-5-yl]- (CA INDEX NAME)

- RN 861904-76-9 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-[(1-oxido-3pyridinyl)methyl]-1H-indazol-5-yl]- (CA INDEX NAME)

- RN 861904-77-0 CAPLUS
- CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-[(1-oxido-4-pyridinyl)methyl]-1H-indazol-5-yl]- (CA INDEX NAME)

- RN 861904-78-1 CAPLUS
- CN Benzamide, 3-fluoro-4-methyl-5-(1-phenyl-1H-indazol-5-yl)-N-2-pyrimidinyl-(CA INDEX NAME)

- RN 861904-79-2 CAPLUS
- CN Benzamide, 3-fluoro-N-(4-fluorophenyl)-4-methyl-5-(1-phenyl-1H-indazol-5yl)- (CA INDEX NAME)

- RN 861904-80-5 CAPLUS
- CN Benzamide, 3-fluoro-4-methyl-5-(1-phenyl-1H-indazol-5-yl)-N-3-pyridazinyl-(CA INDEX NAME)

- RN 861904-81-6 CAPLUS
- CN Benzamide, 3-fluoro-4-methyl-5-(1-phenyl-1H-indazol-5-yl)-N-1H-pyrazol-3-yl- (CA INDEX NAME)

- RN 861904-82-7 CAPLUS
- CN Benzamide, N-(4-fluorophenyl)-4-methyl-3-(1-phenyl-1H-indazol-5-yl)- (CA INDEX NAME)

- RN 861904-83-8 CAPLUS
- CN Benzamide, N-ethyl-3-[3-(4-fluorophenyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)

- RN 861904-84-9 CAPLUS
- CN Benzamide, N-cyclopropyl-3-[3-(4-fluorophenyl)-1H-indazol-6-yl]-4-methyl-(CA INDEX NAME)

RN 861904-85-0 CAPLUS

CN Benzamide, N-ethyl-3-[3-(4-methoxyphenyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)

RN 861904-86-1 CAPLUS

CN Benzamide, N-cyclopropyl-3-[3-(4-methoxyphenyl)-1H-indazol-6-yl]-4-methyl(CA INDEX NAME)

RN 861904-87-2 CAPLUS

CN Benzamide, N-ethyl-3-[3-(6-fluoro-3-pyridinyl)-1H-indazol-6-yl]-4-methyl-(CA INDEX NAME)

- RN 861904-88-3 CAPLUS
- CN Benzamide, 3-[3-(4-ethoxyphenyl)-1H-indazol-6-yl]-N-ethyl-4-methyl- (CA INDEX NAME)

- RN 861904-89-4 CAPLUS
- CN Benzamide, N-ethyl-4-methyl-3-[3-[4-(methylsulfonyl)phenyl]-1H-indazol-6yl]- (CA INDEX NAME)

- RN 861904-90-7 CAPLUS
- CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-(4-methylphenyl)-1H-indazol-6yl]- (CA INDEX NAME)

- RN 861904-91-8 CAPLUS
- CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-[4-(trifluoromethyl)phenyl]-1H-indazol-6-yl]- (CA INDEX NAME)

RN 861904-92-9 CAPLUS

CN Benzamide, 3-[3-(4-chlorophenyl)-1H-indazol-6-yl]-N-ethyl-5-fluoro-4-methyl- (CA INDEX NAME)

RN 861904-93-0 CAPLUS

CN Benzamide, N-ethyl-3-fluoro-5-[3-(6-methoxy-3-pyridinyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)

RN 861904-95-2 CAPLUS

CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-(1-oxido-2-pyridinyl)-1H-indazol-6-yl]- (CA INDEX NAME)

- RN 861904-96-3 CAPLUS
- CN Benzamide, N-(1-ethyl-1H-pyrazol-5-yl)-3-fluoro-5-[3-(4-fluorophenyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)

- RN 861904-97-4 CAPLUS
- CN Benzamide, 3-[3-(1,3-dimethyl-1H-pyrazol-5-yl)-1H-indazol-6-yl]-N-ethyl-4-methyl- (CA INDEX NAME)

- RN 861904-98-5 CAPLUS
- CN Benzamide, 3-fluoro-5-[3-(4-fluorophenyl)-1H-indazol-6-yl]-4-methyl-N-(1-methyl-1H-pyrazol-5-yl)- (CA INDEX NAME)

- RN 861904-99-6 CAPLUS
- CN Benzamide, 3-fluoro-5-[3-(4-fluorophenyl)-1H-indazol-6-yl]-4-methyl-N-1Hpyrazol-3-yl- (CA INDEX NAME)

RN 861905-00-2 CAPLUS

CN Benzamide, N-ethyl-4-methyl-3-[3-[6-(4-morpholinyl)-3-pyridinyl]-1H-indazol-6-yl]- (CA INDEX NAME)

RN 861905-01-3 CAPLUS

CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-(2-pyrimidinyl)-1H-indazol-6-yl]-(CA INDEX NAME)

RN 861905-02-4 CAPLUS

CN Benzamide, N-ethyl-4-methyl-3-[3-(5-pyrimidinyl)-1H-indazol-6-yl]- (CA INDEX NAME)

- RN 861905-03-5 CAPLUS
- CN Benzamide, N-ethyl-4-methyl-3-[3-(2-pyrazinyl)-1H-indazol-6-yl]- (CA INDEX NAME)

- RN 861905-04-6 CAPLUS
- CN Benzamide, N-ethyl-3-fluoro-5-[3-(4-fluoro-2-methoxyphenyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)

- RN 861905-05-7 CAPLUS
- CN Benzamide, N-ethyl-3-fluoro-5-[3-(2-methoxy-3-pyridinyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)

- RN 861905-06-8 CAPLUS
- CN Benzamide, N-ethyl-3-fluoro-5-[3-(4-fluoro-2-methylphenyl)-1H-indazol-6yl]-4-methyl- (CA INDEX NAME)

RN 861905-07-9 CAPLUS

CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-(3-pyridinyl)-1H-indazol-6-yl]-(CA INDEX NAME)

RN 861905-08-0 CAPLUS

CN Benzamide, 3-[3-(3,5-dimethyl-4-isoxazolyl)-1H-indazol-6-yl]-N-ethyl-5fluoro-4-methyl- (CA INDEX NAME)

RN 861905-09-1 CAPLUS

CN 3-Pyridinecarboxamide, N-ethyl-6-[6-[5-[(ethylamino)carbonyl]-2-methylphenyl]-1H-indazol-3-yl]- (CA INDEX NAME)

- RN 861905-10-4 CAPLUS
- CN Benzamide, N-(1,4-dimethyl-1H-pyrazol-5-yl)-3-fluoro-5-[3-(4-fluorophenyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)

- RN 861905-11-5 CAPLUS
- CN Benzamide, N-(1,4-dimethyl-1H-pyrazol-5-yl)-3-[3-(4-fluorophenyl)-1Hindazol-6-yl]-4-methyl- (CA INDEX NAME)

- RN 861905-12-6 CAPLUS
- CN Benzamide, N-(3,5-dimethyl-4-isoxazolyl)-3-[3-(4-fluorophenyl)-1H-indazol-6-yl]-4-methyl- (CA INDEX NAME)

- RN 861905-13-7 CAPLUS
- CN Benzamide, 3-[3-(1,2-dimethyl-1H-imidazol-5-yl)-1H-indazol-6-yl]-N-ethyl-5fluoro-4-methyl- (CA INDEX NAME)

861905-15-9 CAPLUS Formic acid, compd. with N-ethyl-3-fluoro-4-methyl-5-[3-(2-methyl-4- CN pyridinyl)-1H-indazol-6-yl]benzamide (1:1) (CA INDEX NAME)

CM 1

CRN 861905-14-8 CMF C23 H21 F N4 O

CM 2

CRN 64-18-6 CMF C H2 O2

O==CH-OH

RN 861905-17-1 CAPLUS

CN Benzamide, N-cyclopropy1-3-fluoro-4-methy1-5-[1-[4-[[(tetrahydro-2furanyl)methyl]amino]phenyl]-1H-indazol-5-yl]- (CA INDEX NAME)

10/587,790 November 26, 2008

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2005:732643 CAPLUS Full-text

DOCUMENT NUMBER: 143:193999

TITLE: Preparation of fused heteroaryl derivatives as p38

kinase inhibitors

INVENTOR(S): Campos, Sebastien Andre; Swanson, Stephen; Walker, Ann

Louise

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

						KIND		DATE		APPLICATION NO.								
									WO 2005-GB281									
	W:	AE,	AG.	AL.	AM.	AT.	AU.	AZ.	BA.	BB.	BG.	BR.	BW.	BY.	BZ.	CA,	CH,	
							DE,											
							ID,											
							LV,											
							PL,											
							TZ,											
	DW.	BW,																
	KW.						RU.											
							GR,											
							BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
			ΝE,															
E	EP 1745038																	
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	HR,	LV	
J.	JP 2007519695				T 20070719				JP 2006-550298						20050127			
U	US 20070142372				A1		20070621 US 200				006-	06-587614				20060728		
PRIORITY APPLN. INFO.:									GB 2	004-	2140			A 2	0040	130		
									WO 2005-GB281						W 2	0050	127	
OTHER SOURCE(S):					CASI	CASREACT 143:193999; MARPAT 143:193999									_			
GI										,								

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [A = (un)substituted fused 5-membered heteroaryl ring, R1 = Me or C1, R2 = NHCOR3 or CONN(CH2)qAR; R3 = H, alkyl, CF3, etc.; R4 = H, cycloalkyl, alkyl, etc.; q = 0-2; X and Y independently = H, Me or halo] and their pharmaceutically acceptable salts, are prepared and disclosed as p38 kinase inhibitors. Thus, e.g., II was prepared by coupling of N-cyclopropyl-3-fluoro-5-(IH-indazol-5-yl)-4-methylbenzamide (preparation given) with 2-(bromomethyl)terahydro-2H-pyran. The activity of I was evaluated in fluorescence anisotropy kinase binding assays and it was revealed that compds. of the invention displayed IC50 values of <10 \muM or pKi values of >6. I as p38 kinase inhibitor should prove useful in the treatment of disease states mediated by p38 kinase. Pharmaceutical compns. comprising I are disclosed.

IT 861972-61-4P 861972-65-8P

861972-66-99

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of fused heteroaryl derivs. as p38 kinase inhibitors)

RN 861972-61-4 CAPLUS

CN 1(2H)-Pyridinecarboxylic acid, 4-[6-[5-[(ethylamino)carbonyl]-2-methylphenyl]-1H-indazol-3-yl]-3,6-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 861972-62-5 CAPLUS

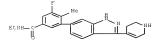
CN Benzamide, N-ethyl-4-methyl-3-[3-(1,2,3,6-tetrahydro-4-pyridinyl)-1Hindazol-6-yl]- (CA INDEX NAME)

RN 861972-65-8 CAPLUS

CN 1(2H)-Pyridinecarboxylic acid, 4-[6-[5-[(ethylamino)carbonyl]-3-fluoro-2-methylphenyl]-1H-indazol-3-yl]-3,6-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 861972-66-9 CAPLUS

CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-[3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indazol-6-yl]- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his nofil

(FILE 'HOME' ENTERED AT 15:02:02 ON 26 NOV 2008)

FILE 'REGISTRY' ENTERED AT 15:03:09 ON 26 NOV 2008 ACT PAGONAKIS790/A

L1 STR L2 STR

L3 SCR 7 OR 21

L4 331 SEA SSS FUL L3 AND L2 AND L1

FILE 'CAPLUS' ENTERED AT 15:03:44 ON 26 NOV 2008

L5 12 SEA ABB=ON PLU=ON L4 D OUE L4

DIS

FILE 'REGISTRY' ENTERED AT 15:06:53 ON 26 NOV 2008

L6 STR L1

5 SEA SUB=L4 SSS SAM L6

L8 128 SEA SUB=L4 SSS FUL L6

FILE 'CAPLUS' ENTERED AT 15:20:41 ON 26 NOV 2008

1.9 4 SEA ABB=ON PLU=ON L8

L10 1 SEA ABB=ON PLU=ON US200!-587790/APPS 0 SEA ABB=ON PLU=ON L9 AND L10 L11

SEL RN L10

FILE 'REGISTRY' ENTERED AT 15:21:11 ON 26 NOV 2008 L12 1 SEA ABB=ON PLU=ON 291782-12-2/BI

D SCA

SEL RN

FILE 'CAPLUS' ENTERED AT 15:21:54 ON 26 NOV 2008

E US2006-587790/APPS

E WO2005-GB265/APPS L13 1 SEA ABB=ON PLU=ON (WO2005-GB265/AP OR WO2005-GB265/PRN)

FILE 'REGISTRY' ENTERED AT 15:22:34 ON 26 NOV 2008

239 SEA ABB=ON PLU=ON (100-39-0/BI OR 100-63-0/BI OR 1003-03-8/BI OR 10075-50-0/BI OR 107-10-8/BI OR 108-00-9/BI OR 109-12-6/BI OR 109-55-7/BI OR 109-73-9/BI OR 109-85-3/BI OR 1194-02-1/BI OR 128796-39-4/BI OR 130628-75-0/BI OR 139911-29-8/BI OR 14331-56-7/BI OR 149104-88-1/BI OR 151681-01-5/BI OR 16114-47-9

/BI OR 163105-90-6/BI OR 165245-96-5/BI OR 1679-18-1/BI OR 169124-35-0/BT OR 1692-25-7/BT OR 17874-79-2/BT OR 179899-07-1/ BI OR 1820-80-0/BI OR 186498-02-2/BI OR 192443-10-0/BI OR 192443-34-8/BI OR 192443-35-9/BI OR 19847-10-0/BI OR 201733-56-4/BI OR 220769-83-5/BI OR 22195-47-7/BI OR 22237-13-4/BI OR 2516-34-9/BI OR 2516-47-4/BI OR 261953-36-0/BI OR 29939-37-5/BI OR 31329-64-3/BI OR 313350-36-6/BI OR 31519-62-7/BI OR 3218-02-8/BI OR 32637-62-0/BI OR 350-28-7/BI OR 351019-18-6/BI OR 3524-49-0/BI OR 352530-44-0/BI OR 3528-58-3/BI OR 368-90-1/B I OR 371-40-4/BI OR 38041-19-9/BI OR 39901-94-5/BI OR 402-49-3/ BI OR 40929-48-4/BI OR 459-46-1/BI OR 4595-61-3/BI OR 4795-29-3 /BI OR 4916-55-6/BI OR 504424-71-9/BI OR 504424-72-0/BI OR 515131-35-8/BI OR 536-89-0/BI OR 53857-57-1/BI OR 541540-09-4/B I OR 55401-97-3/BI OR 55458-67-8/BI OR 5720-05-8/BI OR 574758-37-5/BI OR 585544-30-5/BI OR 589-21-9/BI OR 634187-64-7/ BI OR 651780-02-8/BI OR 651780-48-2/BI OR 651781-09-8/BI OR 66572-55-2/BT OR 73870-24-3/BT OR 75-31-0/BT OR 75-65-0/BT OR 76513-69-4/BI OR 79762-54-2/BI OR 823-85-8/BI OR 86051-75-4/BI OR 861904-25-8/BI OR 861904-26-9/BI OR 861904-27-0/BI OR 861904-28-1/BI OR 861904-29-2/BI OR 861904-30-5/BI OR 861904-31 -6/BI OR 861904-32-7/BI OR 861904-33-8/BI OR 861904-34-9/BI OR 861904-35-0/BI OR 861904-36-1/BI OR 861904-37-2/BI OR 861904-38 -3/BI OR 861904-39-4/BI OR 861904-40-7/BI OR 861904-41-8/BI OR 861904-42-9/BI OR 861904-43-0/BI OR 861904-44-1/BI OR 861904-45 -2/BI OR 861904-46-3/BI OR 8

L15 86 SEA ABB=ON PLU=ON L14 AND L8

FILE 'CAPLUS' ENTERED AT 15:23:05 ON 26 NOV 2008 L16 1 SEA ABB=ON PLU=ON L9 AND L13

FILE 'WPIX' ENTERED AT 15:23:17 ON 26 NOV 2008

L19 3 SEA ABB=ON PLU=ON L18/DCR

FILE 'BEILSTEIN' ENTERED AT 15:24:43 ON 26 NOV 2008

L20 0 SEA SSS SAM L1 AND L2 AND L6 L21 0 SEA SSS FUL L1 AND L2 AND L6

FILE 'CAPLUS' ENTERED AT 15:26:14 ON 26 NOV 2008 D OUE L9

FILE 'WPIX' ENTERED AT 15:26:39 ON 26 NOV 2008

FILE 'CAPLUS, WPIX' ENTERED AT 15:26:47 ON 26 NOV 2008 L22 4 DUP REM L9 L19 (3 DUPLICATES REMOVED) ANSWERS '1-4' FROM FILE CAPLUS

D L22 IBIB ABS HITSTR TOT